

REMARKS

The Examiner is respectfully requested to enter this Reply After Final in that it raises no new issues. Alternatively, the Examiner is respectfully requested to enter this Reply After Final in that it places the application in better form for Appeal.

Status of the Claims

Claims 1-14 and 16-17 are currently pending in the above identified application. Claim 15 has been canceled. No new claims have been added. Claims 1, 2, 5, 6, 9, 10, 13, 14, 16 and 17 have been amended to revise the proviso. No new matter has been added by the above amendments.

Rejections under 35 USC 112, second paragraph

The Examiner maintains the rejection of claim 9 for the phrase "which latter is optionally substituted". Applicants amend claim 9 to correct the phrase. This is a non-narrowing claim amendment. As such, the rejection should be withdrawn.

The Examiner also rejects claims 5-7 and 13-15 for the phrase in the proviso, which states, "A forms together with B a valence bond." Applicants amend the proviso to delete the indefinite language. This is a non-narrowing claim amendment. As such, the rejection should be withdrawn.

The Examiner rejects claim 15 as indefinite for the phrase "or a morpholino group, wherein the phenyl group". The Examiner also

rejects claim 15 for the definitions of A and B. Applicants cancel claim 15. As such, the rejection is moot and should be withdrawn.

Rejections under 35 USC 102(b)

The Examiner rejects claims 1, 5-9 and 13-17 as anticipated by WO '283 Hamori. Applicants traverse the rejection and respectfully request the withdrawal thereof.

Applicants submit that the above claim amends in the proviso distinguish the rejected claims from WO '283 Hamori. Applicants have amended the proviso to disclaim any overlapping substituents. As such, the rejection based on WO '283 Hamori should be withdrawn.

The Examiner rejects claims 1-3, 5-7, 9-11 and 13-17 as anticipated by Tarnawa. Applicants traverse the rejection and respectfully request the withdrawal thereof.

Applicants submit that the above claim amends in the proviso distinguish the rejected claims from Tarnawa. Applicants have amended the proviso to disclaim any overlapping substituents. As such, the rejection based on Tarnawa should be withdrawn.

The Examiner rejects claims 1-3, 5-7, 9, 10 and 13-17 as anticipated by the Andrasi patents. Applicants traverse the rejection and respectfully request the withdrawal thereof.

Applicants submit that the above claim amends in the proviso distinguish the rejected claims from the cited Andrasi patents. Applicants have amended the proviso to disclaim any overlapping

substitutents. As such, the rejection based on the Andrasi patents should be withdrawn.

Rejections under 35 USC 103(a)

The Examiner rejects claims 1-3, 5-7, 9, 10 and 13-17 as obvious over the cited Andrasi patents. Applicants traverse the rejection and respectfully request the withdrawal thereof.

Applicants submit that the above claims amendments which proviso out any overlapping substituents renders the present invention non-analogous to the compounds of the Andrasi patents. As such, the rejection should be withdrawn.

Conclusion

As Applicants have addressed and overcome all rejections in the Office Action, Applicants respectfully request that the rejections be withdrawn and that the claims be allowed.

Should there be any outstanding matters that need to be resolved in the present application, the Examiner is respectfully requested to contact Kecia Reynolds (Reg. No. 47,021) at the telephone number of the undersigned below, to conduct an interview in an effort to expedite prosecution in connection with the present application.


Attached hereto is a marked-up version of the changes made to the application by this Amendment.

Pursuant to 37 C.F.R. § 1.17 and 1.136(a), Applicants respectfully petition for a two (2) month extension of time for filing a response in connection with the present application. The required fee of \$400.00 is attached hereto.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. §§ 1.16 or 1.17; particularly, extension of time fees.

Respectfully submitted,

BIRCH, STEWART, KOLASCH & BIRCH, LLP

By   
Marc S. Weiner, #32,181

MSW/KJR/jeb  
1060-0136P

P.O. Box 747  
Falls Church, VA 22040-0747  
(703) 205-8000

Attachment: Version with Markings to Show Changes Made

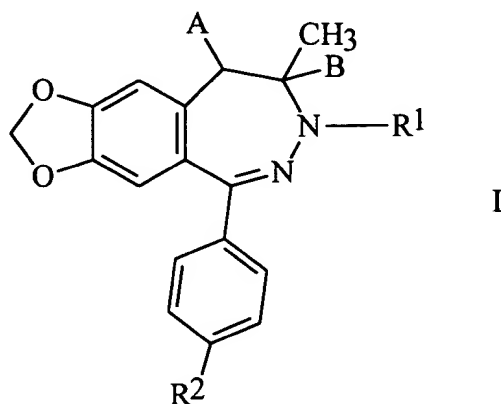
(Rev. 02/20/02)

**VERSION WITH MARKINGS TO SHOW CHANGES MADE**

IN THE CLAIMS:

Claims 15 have been canceled.

Claim 1. (Twice Amended) A 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally

substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

$R^7$  and  $R^8$  mean, independently, a hydrogen atom, a guanyl group, a  $C_{3-6}$  cycloalkyl group or a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a  $C_{1-4}$  alkoxy group, or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl( $C_{1-4}$  alkyl) group or a phenoxy( $C_{1-4}$  alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy

group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- [1) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group, and



- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,]
- 1) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,
- 2) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-4}$  alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom

or a C<sub>1-14</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 2. (Amended) A 1,3-dioxolo-[4,5-h][2,3] benzodiazepine compound as claimed in Claim 1, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a chloro atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a cyclopropyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and the heterocyclic group is optionally substituted by a phenyl group

which latter is optionally substituted by 1 to 3 methoxy groups, or

$R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

$n$  has a value of 0, 1 or 2,

$m$  has a value of 0, 1 or 2,

$R^2$  stands for a nitro group or an amino group, with the proviso that

[1) if  $n$  and  $m$  have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group, and

2) if  $n$  have a value of 0,  $m$  has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,]

1) if  $n$  and  $m$  have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the

other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group, and  
 2) if n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-4</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 5. (Twice Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 1, wherein in formula I

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is

optionally substituted by one or two C<sub>1-2</sub> alkoxy group(s), or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituents(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C<sub>1-4</sub> alkoxy group,

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group or an amino group, with the proviso that

- [1) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one

of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,]

- 1) if  $R^2$  stands for a nitro group or an amino group and  $p$  has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group, and
- 2) if  $R^2$  stands for a nitro group or an amino group,  $p$  has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 6. (Twice Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 5, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

$R^2$  represents a nitro group or an amino group,

$R^1$  stands for a group of the formula

$-\text{CO}-(\text{CH}_2)_p-\text{R}^6$ , wherein

$R^6$  means a chloro atom, a phenoxy group, or a group of the formula  $-\text{NR}^7\text{R}^8$ , wherein

$R^7$  and  $R^8$  represent, independently, a hydrogen atom, a guanyl group or a  $C_{1-3}$  alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or

$R^7$  and  $R^8$  form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

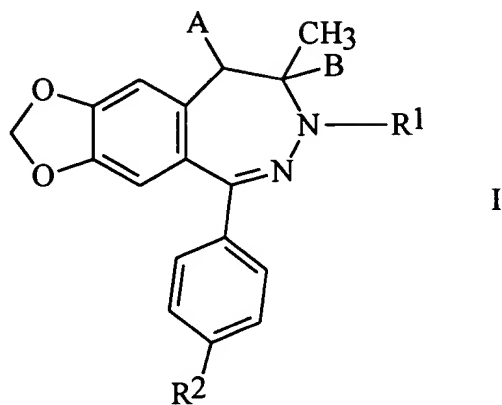
$p$  has a value of 0, 1 or 2, with the proviso that

[if A forms together with B a valence bond,  $R^2$  stands for an amino group,  $p$  has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-3}$  alkyl group,]

if  $R^2$  stands for a nitro group or an amino group,  $p$  has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-3}$  alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 9. (Amended) A pharmaceutical composition comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3</sub>-<sub>6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which [latter] is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3



nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which [latter] is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub>

alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s),

wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- [1) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,]

1) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,

2) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-4}$  alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a

hydrogen atom or a C<sub>1-4</sub> alkyl group, then the  
other of R<sup>3</sup> and R<sup>4</sup> is different from a  
hydrogen atom or a C<sub>1-14</sub> alkyl group,  
 or a pharmaceutically suitable acid addition salt thereof  
 as the active ingredient and one or more conventional  
 carrier(s).

Claim 10. (Twice Amended) A pharmaceutical composition as  
 claimed in Claim 9 comprising a 1,3-dioxolo-[4,5-  
 h][2,3]benzodiazepine compound of the formula I, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

- (CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a chloro atom, a pyridyl group or a group  
 of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a  
 cyclopropyl group, a C<sub>1-4</sub> alkoxy group, an amino  
 group, a phenyl group optionally substituted by  
 one or two methyl group(s), or a C<sub>1-4</sub> alkyl group  
 which latter is optionally substituted by a  
 phenyl group or a saturated heterocyclic group  
 having 5 or 6 members and comprising 1 to 3  
 nitrogen atom(s) or a nitrogen atom and an oxygen

atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or

$R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

$n$  has a value of 0, 1 or 2,

$m$  has a value of 0, 1 or 2,

$R^2$  stands for a nitro group or an amino group, with the proviso that

- [1) if  $n$  and  $m$  have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group, and
- 2) if  $n$  have a value of 0,  $m$  has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,]

- 1) if n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group, and
- 2) if n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-4</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 13. (Twice Amended) A pharmaceutical composition as claimed in Claim 9 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, or a C<sub>1-4</sub> alkyl group which latter is

optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C<sub>1-2</sub> alkoxy group(s), or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl (C<sub>1-4</sub> alkyl) group or a phenoxy (C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C<sub>1-4</sub> alkoxy group,

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group or an amino group, with the proviso that

[1) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,



2) if A forms together with B a valence bond,  $R^2$  stands for an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,]

1) if  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group, and

2) if  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 14. (Twice Amended) A pharmaceutical composition as claimed in Claim 13 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

$R^2$  represents a nitro group or an amino group,

$R^1$  stands for a group of the formula

$-CO-(CH_2)_p-R^6$ , wherein

$R^6$  means a chloro atom, a phenoxy group, or a group of the formula  $-NR^7R^8$ , wherein

$R^7$  and  $R^8$  represent, independently, a hydrogen atom, a guanyl group or a  $C_{1-3}$  alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or

$R^7$  and  $R^8$  form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

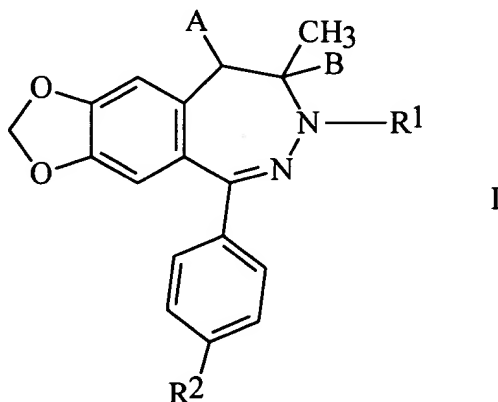
$p$  has a value of 0, 1 or 2, with the proviso that

[if A forms together with B a valence bond,  $R^2$  stands for an amino group,  $p$  has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-3}$  alkyl group,]

if  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-3}$  alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 16. (Twice Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated with a non-toxic dose of a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

$R^1$  stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

$R^3$  and  $R^4$  mean, independently, a hydrogen atom, a  $C_{3-6}$  cycloalkyl group, a  $C_{1-4}$  alkoxy group, an amino group, a phenyl group optionally substituted by one or two  $C_{1-4}$  alkyl group(s), a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a  $C_{1-4}$  alkoxy group, or

$R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a  $C_{1-4}$  alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case  $R^1$  represents a group of the formula

$-\text{CO}-(\text{CH}_2)_p-\text{R}^6$ , wherein

$R^6$  stands for a halo atom, a phenoxy group, a  $\text{C}_{1-4}$  alkoxy group or a group of the formula  $-\text{NR}^7\text{R}^8$ , wherein

$R^7$  and  $R^8$  mean, independently, a hydrogen atom, a guanyl group, a  $\text{C}_{3-6}$  cycloalkyl group or a  $\text{C}_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a  $\text{C}_{1-4}$  alkoxy group, or

$R^7$  and  $R^8$  form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said

heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- [1) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula

$-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

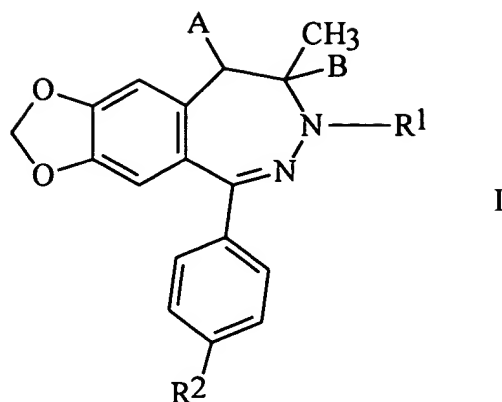
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group, and
  - 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,]
- 1) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,
  - 2) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

- 3) if each of A and B stands for a hydrogen atom,  
n and m have a value of 0, then one of R<sup>3</sup> and  
R<sup>4</sup> represents a hydrogen atom, and the other of  
R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a  
phenyl group or a C<sub>1-14</sub> alkyl group, and
- 4) if each of A and B stands for a hydrogen  
atom, n has a value of 0, m has a value of 1  
or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a  
hydrogen atom or a C<sub>1-14</sub> alkyl group, then the  
other of R<sup>3</sup> and R<sup>4</sup> is different from a  
hydrogen atom or a C<sub>1-4</sub> alkyl group,

or a pharmaceutically suitable acid addition salt thereof.

Claim 17. (Twice Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,





wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted

by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and

comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl)

group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

$R^2$  stands for a nitro group, an amino group or a ( $C_{1-4}$  alkanoyl)amino group, with the proviso that

- [1) if A forms together with B a valence bond,  $R^2$  stands for an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,
- 2) if A forms together with B a valence bond,  $R^2$  stands for an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and

$R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,]

- 1) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group and p has a value of 0, then  $R^6$  is different from a  $C_{1-4}$  alkoxy group,
- 2) if A forms together with B a valence bond,  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-14}$  alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group"

or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.